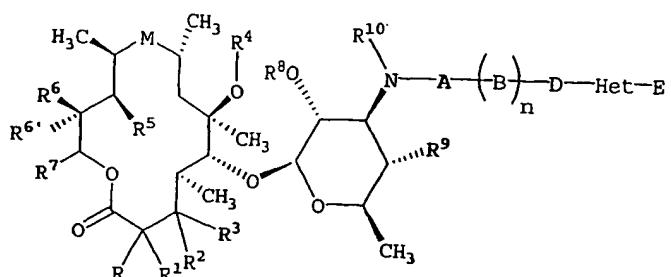


WHAT IS CLAIMED IS:

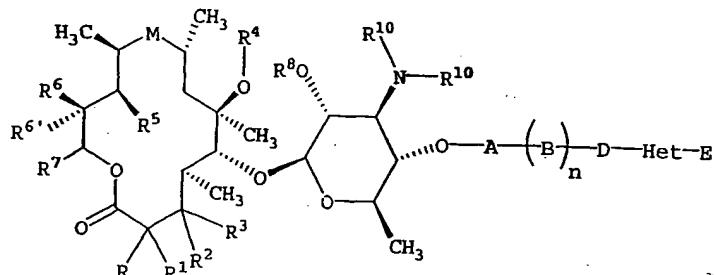
1 1. A compound having the formula:



2

3

or

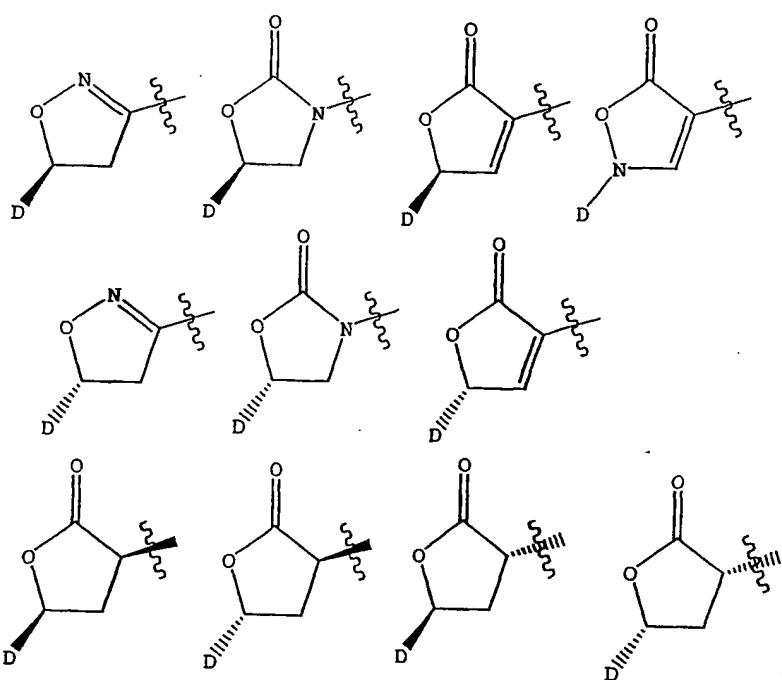


4

5 or pharmaceutically acceptable salt, ester or prodrug thereof,

6 wherein:

7 D-Het is selected from the group consisting of:



8

9 A is selected from the group consisting of:

- 10 a) carbonyl, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl d) -C(O)-C₁₋₆ alkyl, and
 11 e) -C(O)-C₂₋₆ alkenyl,

12 wherein

- 13 i) 0-2 carbon atoms of the C₁₋₆ alkyl and C₂₋₆ alkenyl groups in any
 14 of b) – e) optionally are replaced by a moiety selected from the
 15 group consisting of O, S(O)_p, and NR¹¹, and
 16 ii) any of b) – e) optionally is substituted with one or more R¹²
 17 groups;

18 B is selected from the group consisting of:

- 19 a) -C(O)NH-, b) -C(S)NH-, c) -NHC(O)-, d) -NHC(S)-, e) -S(O)₂NH-,
 20 f) -NHS(O)₂-, g) -OC(O)NH-, h) -OC(S)NH-, i) -NHC(O)NH-, j) -NHC(S)NH-,
 21 k) -NHC(O)O-, l) -NHC(S)O-, and m) -NR¹¹-;

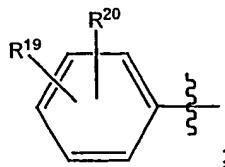
22 n is 0 or 1;

23 D is selected from the group consisting of:

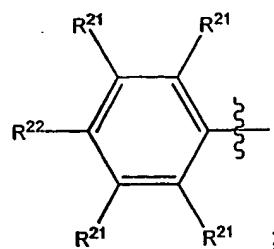
- 24 a) -CH₂-, b) -C(O)-, c) -C(S)-, d) -C(=NOR¹¹)-, e) -CH₂CH₂-, f) -OCH₂-,
 25 g) -SCH₂-, h) -S(O)CH₂-, i) -S(O)₂CH₂-, j) -NR¹¹CH₂-, k) -C(O)CH₂-,
 26 l) -C(S)CH₂-, and m) -C(=NOR¹¹)CH₂-;

27 E is selected from the group consisting of:

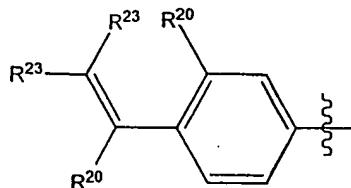
28 a)



29 b)



30 c)



- 34 d) 5-10 membered saturated, unsaturated, or aromatic heterocycle containing
35 one or more heteroatoms selected from the group consisting of nitrogen, oxygen,
36 and sulfur, and optionally substituted with one or more R¹² groups;
- 37 e) C₅₋₁₀ saturated, unsaturated, or aromatic carbocycle, optionally substituted
38 with one or more R¹² groups;
- 39 f) C₁₋₈ alkyl;
- 40 g) C₂₋₈ alkenyl,
- 41 h) C₂₋₈ alkynyl,
- 42 i) C₁₋₈ alkoxy,
- 43 j) C₁₋₈ alkylthio,
- 44 k) C₁₋₈ acyl,
- 45 l) S(O)_nR¹¹; and
- 46 m) hydrogen,
47 wherein any of f) – k) optionally is substituted with
48 i) one or more R¹² groups;
49 ii) 5-6 membered saturated, unsaturated, or aromatic
50 heterocycle containing one or more heteroatoms selected from the
51 group consisting of nitrogen, oxygen, and sulfur, and optionally
52 substituted with one or more R¹² groups; or
53 iii) C₅₋₁₀ saturated, unsaturated, or aromatic carbocycle,
54 optionally substituted with one or more R¹² groups;

55 M is selected from the group consisting of:

- 56 a) -C(O)-, b) -C(=NOR¹¹)-, c) -CH(-OR¹¹)-, d) -NR¹¹-CH₂- , e) -CH₂-NR¹¹-,
57 f) -CH(NR¹¹R¹¹)-, g) -C(=NNR¹¹R¹¹)- , h) -NR¹¹-C(O)-, i) -C(O)NR¹¹- , and
58 j) -C(=NR¹¹)-;

59 R is selected from the group consisting of H and C₁₋₆ alkyl;

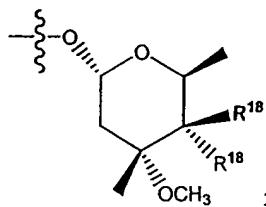
60 R¹ is selected from the group consisting of:

61 a) H, b) Cl, c) F, d) Br, e) I, f) -NR¹¹R¹¹, g) -NR¹¹C(O)R¹¹, h) -OR¹¹,
 62 i) -OC(O)R¹¹, j) -OC(O)OR¹¹, k) -OC(O)NR¹¹R¹¹, l) -O-C₁₋₆ alkyl-R¹²,
 63 m) -OC(O)-C₁₋₆ alkyl-R¹², n) -OC(O)O-C₁₋₆ alkyl-R¹²,
 64 o) -OC(O)NR¹¹-C₁₋₆ alkyl-R¹², p) C₁₋₆ alkyl, q) C₁₋₆ alkenyl, r) C₁₋₆ alkynyl,
 65 wherein any of l) – r) optionally is substituted with one or more R¹²
 66 groups;

67 R² is H;

68 R³ is selected from the group consisting of:

69 a) H, b) -OR¹¹, c) -O-C₁₋₆ alkyl-R¹², d) -OC(O)R¹¹, e) -OC(O)-C₁₋₆ alkyl-R¹²,
 70 f) -OC(O)OR¹¹, g) -OC(O)O-C₁₋₆ alkyl-R¹², h) -OC(O)NR¹¹R¹¹,
 71 i) -OC(O)NR¹¹-C₁₋₆ alkyl-R¹², and
 72 j)



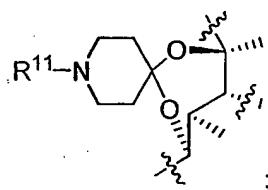
73 ;

74 alternatively, R² and R³ taken together form a carbonyl group;

75 R⁴ is selected from the group consisting of:

76 a) H, b) R¹¹, c) -C(O)R¹¹, d) -C(O)OR¹¹, e) -C(O)NR¹¹R¹¹, f) -C₁₋₆ alkyl-G-R¹¹,
 77 g) -C₂₋₆ alkenyl-G-R¹¹, and h) -C₂₋₆ alkynyl-G-R¹¹;

78 alternatively R³ and R⁴, taken together with the atoms to which they are bonded, form:



79 ;

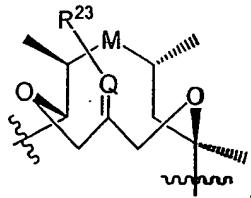
80 G is selected from the group consisting of:

81 a) -C(O)-, b) -C(O)O-, c) -C(O)NR¹¹- , d) -C(=NR¹¹)-, e) -C(=NR¹¹)O-,
 82 f) -C(=NR¹¹)NR¹¹- , g) -OC(O)-, h) -OC(O)O-, i) -OC(O)NR¹¹- , j) -NR¹¹C(O)-,
 83 k) -NR¹¹C(O)O-, l) -NR¹¹C(O)NR¹¹- , m) -NR¹¹C(=NR¹¹)NR¹¹- , and o) -S(O)p-;

84 R⁵ is selected from the group consisting of:

- 85 a) R¹¹, b) -OR¹¹, c) -NR¹¹R¹¹, d) -O-C₁₋₆alkyl-R¹², e) -C(O)-R¹¹,
 86 f) -C(O)-C₁₋₆alkyl-R¹², g) -OC(O)-R¹¹, h) -OC(O)-C₁₋₆alkyl-R¹²,
 87 i) -OC(O)O-R¹¹, j) -OC(O)O-C₁₋₆alkyl-R¹², k) -OC(O)NR¹¹R¹¹,
 88 l) -OC(O)NR¹¹-C₁₋₆alkyl-R¹², m) -C(O)-C₂₋₆alkenyl-R¹², and
 89 n) -C(O)-C₂₋₆alkynyl-R¹²;

90 alternatively, R⁴ and R⁵, taken together with the atoms to which they are bonded, form:



91

92 wherein

- 93 Q is CH or N, and
 94 R²³ is -OR¹¹, or R¹¹;

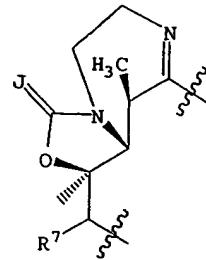
95 R⁶ is selected from the group consisting of:

- 96 a) -OR¹¹, b) -C₁₋₆alkoxy-R¹², c) -C(O)R¹¹, d) -OC(O)R¹¹, e) -OC(O)OR¹¹,
 97 f) -OC(O)NR¹¹R¹¹, and g) -NR¹¹R¹¹;

98 alternatively, R⁵ and R⁶ taken together with the atoms to which they are attached form a
 99 5-membered ring by attachment to each other through a linker selected from the group consisting
 100 of:

- 101 a) -OC(R¹²)₂O-, b) -OC(O)O-, c) -OC(O)NR¹¹-, d) -NR¹¹C(O)O-,
 102 e) -OC(O)NOR¹¹-, f) -NOR¹¹-C(O)O-, g) -OC(O)NNR¹¹R¹¹-,
 103 h) -NNR¹¹R¹¹-C(O)O-, i) -OC(O)C(R¹²)₂-, j) -C(R¹²)₂C(O)O-, k) -OC(S)O-,
 104 l) -OC(S)NR¹¹-, m) -NR¹¹C(S)O-, n) -OC(S)NOR¹¹-, o) -NOR¹¹-C(S)O-,
 105 p) -OC(S)NNR¹¹R¹¹-, q) -NNR¹¹R¹¹-C(S)O-, r) -OC(S)C(R¹²)₂-, and
 106 s) -C(R¹²)₂C(S)O-;

107 alternatively, M, R⁵, and R⁶ taken together with the atoms to which they are attached
 108 form:



109

110 wherein J is selected from the group consisting of O and NR¹¹;

111 R6' is selected from the group consisting of

112 a) -H, b) -C₁₋₄ alkyl, c) C₂₋₄ alkenyl, which can be further substituted with C₁₋₁₂
113 alkyl or one or more halogens, d) C₂₋₄ alkynyl, which can be further substituted
114 with C₁₋₁₂ alkyl or one or more halogens, e) aryl or heteroaryl, which can be
115 further substituted with C₁₋₁₂ alkyl or one or more halogens, f) -C(O)H, g) -
116 COOH, h) -CN, i) -COOR¹¹, j) -C(O)NR¹¹R¹¹, k) -C(O)R¹¹, and l) -C(O)SR¹¹,
117 wherein b) is further substituted with one or more substituents selected from the
118 group consisting of aa) -OR¹¹, bb) halogen, cc) -SR¹¹, dd) C₁₋₁₂-alkyl, which can
119 be further substituted with halogen, hydroxyl, C₁₋₆ alkoxy, or amino, ee) -OR¹¹,
120 ff) -SR¹¹, gg) -NR¹¹R¹¹, hh) -CN, ii) -NO₂, jj) -NC(O)R¹¹, kk) -COOR¹¹, ll) -N₃,
121 mm) =N-O-R¹¹, nn) =NR¹¹, oo) =N-NR¹¹R¹¹, pp) =N-NH-C(O)R¹¹, and qq) =N-
122 NH-C(O)NR¹¹R¹¹;

123 alternatively R6 and R6' are taken together with the atom to which they are attached to
124 form an epoxide, a carbonyl, an olefin, or a substituted olefin, or a C₃-C₇ carbocyclic, carbonate,
125 or carbamate, wherein the nitrogen of said carbamate can be further substituted with a C₁-C₆
126 alkyl;

127 R⁷ is selected from the group consisting of:

128 a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, and c) C₂₋₆ alkynyl,

129 wherein any of a) – c) optionally is substituted with one or more R¹²
130 groups;

131 R⁸ is selected from the group consisting of H and -C(O)R¹¹;

132 R⁹ is selected from the group consisting of H, OH, and OR¹¹;

133 R¹⁰ is selected from the group consisting of:

134 a) H, b) R¹¹, c) -C₁₋₆ alkyl-G-R¹², d) -C₂₋₆ alkenyl-G-R¹², and
135 e) -C₂₋₆ alkynyl-G-R¹²,

136 wherein the C₁₋₆-alkyl, C₂₋₆ alkenyl, and C₂₋₆ alkynyl group in any of
137 c) - e) optionally is substituted with one or more R¹² groups;

138 R¹¹, at each occurrence, independently is selected from the group consisting of:
139 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₆₋₁₀ saturated, unsaturated,
140 or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or aromatic
141 heterocycle containing one or more heteroatoms selected from the group
142 consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C₁₋₆ alkyl,
143 h) -C(O)-C₂₋₆ alkenyl, i) -C(O)-C₂₋₆ alkynyl, j) -C(O)-C₆₋₁₀ saturated, unsaturated,
144 or aromatic carbocycle, k) -C(O)-3-12 membered saturated, unsaturated, or
145 aromatic heterocycle containing one or more heteroatoms selected from the group
146 consisting of nitrogen, oxygen, sulfur, l) -C(O)O-C₁₋₆ alkyl,
147 m) -C(O)O-C₂₋₆ alkenyl, n) -C(O)O-C₂₋₆ alkynyl, o) -C(O)O-C₆₋₁₀ saturated,
148 unsaturated, or aromatic carbocycle, p) -C(O)O-3-12 membered saturated,
149 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
150 from the group consisting of nitrogen, oxygen, and sulfur, and q) -
151 C(O)NR¹³R¹³,

152 wherein any of b) - p) optionally is substituted with one or more R¹²
153 groups,

154 alternatively, NR¹¹R¹¹ forms a 3-7 membered saturated, unsaturated or aromatic ring
155 including the nitrogen atom to which the R¹¹ groups are bonded and optionally one or more
156 moieties selected from the group consisting of: O, S(O)_p, and NR¹⁵;

157 R¹² is selected from the group consisting of:

158 a) R¹⁴, b) C₁₋₈ alkyl, c) C₂₋₈ alkenyl, d) C₂₋₈ alkynyl, e) C₃₋₁₂ saturated,
159 unsaturated, or aromatic carbocycle, f) 3-12 membered saturated, unsaturated, or
160 aromatic heterocycle containing one or more heteroatoms selected from the group
161 consisting of nitrogen, oxygen, and sulfur, and g) -NR¹⁵C(O)OR¹⁵,

162 wherein any of b) - f) optionally is substituted with one or more R¹⁴
163 groups;

164 R¹³, at each occurrence, independently is selected from the group consisting of:

165 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₃₋₁₀ saturated, unsaturated,
166 or aromatic carbocycle, and f) 3-10 membered saturated, unsaturated, or aromatic

167 heterocycle containing one or more heteroatoms selected from the group
168 consisting of nitrogen, oxygen, and sulfur,
169 wherein any of b) – f) optionally is substituted with one or more moieties selected from the
170 group consisting of:

carbonyl; formyl; F; Cl; Br; I; CN; NO₂; OR¹⁵; -S(O)_pR¹⁵;
 -C(O)R¹⁵; -C(O)OR¹⁵; -OC(O)R¹⁵; -C(O)NR¹⁵R¹⁵;
 -OC(O)NR¹⁵R¹⁵; -C(=NR¹⁵)R¹⁵; -C(R¹⁵)(R¹⁵)OR¹⁵;
 -C(R¹⁵)₂OC(O)R¹⁵; -C(R¹⁵)(OR¹⁵)(CH₂)_nNR¹⁵R¹⁵; -NR¹⁵R¹⁵;
 -NR¹⁵OR¹⁵; -NR¹⁵C(O)R¹⁵; -NR¹⁵C(O)OR¹⁵; -NR¹⁵C(O)NR¹⁵R¹⁵;
 -NR¹⁵S(O)_tR¹⁵; -C(OR¹⁵)(OR¹⁵)R¹⁵; -C(R¹⁵)₂NR¹⁵R¹⁵; =NR¹⁵;
 -C(S)NR¹⁵R¹⁵; -NR¹⁵C(S)R¹⁵; -OC(S)NR¹⁵R¹⁵; -NR¹⁵C(S)OR¹⁵;
 -NR¹⁵C(S)NR¹⁵R¹⁵; -SC(O)R¹⁵; C₁₋₈ alkyl, C₂₋₈ alkenyl;
 C₂₋₈ alkynyl; C₁₋₈ alkoxy; C₁₋₈ alkylthio; C₁₋₈ acyl; saturated,
 unsaturated, or aromatic C₃₋₁₀ carbocycle; and saturated,
 unsaturated, or aromatic 3-10 membered heterocycle containing
 one or more heteroatoms selected from the group consisting of
 nitrogen, oxygen, and sulfur,

alternatively, NR¹³R¹³ forms a 3-10 membered saturated, unsaturated or aromatic ring including the nitrogen atom to which the R¹³ groups are attached and optionally one or more moieties selected from the group consisting of O, S(O)_b, NR¹⁵, and N;

187 alternatively, CR¹³R¹³ forms a carbonyl group;

188 R¹⁴, at each occurrence, is selected from the group consisting of:

- 189 a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) $(CR^{13}R^{13})_tCF_3$, h) $(CR^{13}R^{13})_tCN$,
 190 i) $(CR^{13}R^{13})_tNO_2$, j) $(CR^{13}R^{13})_tNR^{13}(CR^{13}R^{13})_tR^{16}$, k) $(CR^{13}R^{13})_tOR^{16}$,
 191 l) $(CR^{13}R^{13})_tS(O)p(CR^{13}R^{13})_tR^{16}$, m) $(CR^{13}R^{13})_tC(O)(CR^{13}R^{13})_tR^{16}$,
 192 n) $(CR^{13}R^{13})_tOC(O)(CR^{13}R^{13})_tR^{16}$, o) $(CR^{13}R^{13})_tSC(O)(CR^{13}R^{13})_tR^{16}$,
 193 p) $(CR^{13}R^{13})_tC(O)O(CR^{13}R^{13})_tR^{16}$, q) $(CR^{13}R^{13})_tNR^{13}C(O)(CR^{13}R^{13})_tR^{16}$,
 194 r) $(CR^{13}R^{13})_tC(O)NR^{13}(CR^{13}R^{13})_tR^{16}$, s) $(CR^{13}R^{13})_tC(=NR^{13})(CR^{13}R^{13})_tR^{16}$,
 195 t) $(CR^{13}R^{13})_tC(=NNR^{13}R^{13})(CR^{13}R^{13})_tR^{16}$,

196 u) $(CR^{13}R^{13})_rC(=NNR^{13}C(O)R^{13})(CR^{13}R^{13})_tR^{16}$,
 197 v) $(CR^{13}R^{13})_rC(=NOR^{16})(CR^{13}R^{13})_tR^{16}$,
 198 w) $(CR^{13}R^{13})_rNR^{13}C(O)O(CR^{13}R^{13})_tR^{16}$,
 199 x) $(CR^{13}R^{13})_rOC(O)N R^{13}(CR^{13}R^{13})_tR^{16}$,
 200 y) $(CR^{13}R^{13})_rNR^{13}C(O)NR^{13}(CR^{13}R^{13})_tR^{16}$,
 201 z) $(CR^{13}R^{13})_rNR^{13}S(O)p(CR^{13}R^{13})_tR^{16}$, aa) $(CR^{13}R^{13})_rS(O)pNR^{13}(CR^{13}R^{13})_tR^{16}$,
 202 bb) $(CR^{13}R^{13})_rNR^{13}S(O)pNR^{13}(CR^{13}R^{13})_tR^{16}$, cc) $(CR^{13}R^{13})_rNR^{13}R^{13}$,
 203 dd) C₁₋₆ alkyl, ee) C₂₋₆ alkenyl, ff) C₂₋₆ alkynyl, gg) $(CR^{13}R^{13})_r-C_{3-10}$ saturated,
 204 unsaturated, or aromatic carbocycle, and hh) $(CR^{13}R^{13})_r-3-10$ membered
 205 saturated, unsaturated, or aromatic heterocycle containing one or more
 206 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
 207 wherein any of dd) – hh) optionally is substituted with one or more R¹⁶
 208 groups;
 209 alternatively, two R¹⁴ groups may form -O(CH₂)_sO-;
 210 R¹⁵ is selected from the group consisting of:
 211 a) H, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) C₃₋₁₀ saturated,
 212 unsaturated, or aromatic carbocycle, f) 3-10 membered saturated, unsaturated, or
 213 aromatic heterocycle containing one or more heteroatoms selected from the group
 214 consisting of nitrogen, oxygen, and sulfur, g) -C(O)-C₁₋₆ alkyl,
 215 h) -C(O)-C₁₋₆ alkenyl, g) -C(O)-C₁₋₆ alkynyl, i) -C(O)-C₃₋₁₀ saturated,
 216 unsaturated, or aromatic carbocycle, and j) -C(O)-3-10 membered saturated,
 217 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
 218 from the group consisting of nitrogen, oxygen, and sulfur,
 219 wherein any of b) – j) optionally is substituted with one or more moieties
 220 selected from the group consisting of H; F; Cl; Br; I; CN; NO₂; OH; NH₂;
 221 NH(C₁₋₆ alkyl); N(C₁₋₆ alkyl)₂; C₁₋₆ alkoxy; aryl; substituted aryl;
 222 heteroaryl; substituted heteroaryl; and C₁₋₆ alkyl, optionally substituted
 223 with one or more moieties selected from the group consisting of aryl,
 224 substituted aryl, heteroaryl, substituted heteroaryl, F, Cl, Br, I, CN, NO₂,
 225 and OH;

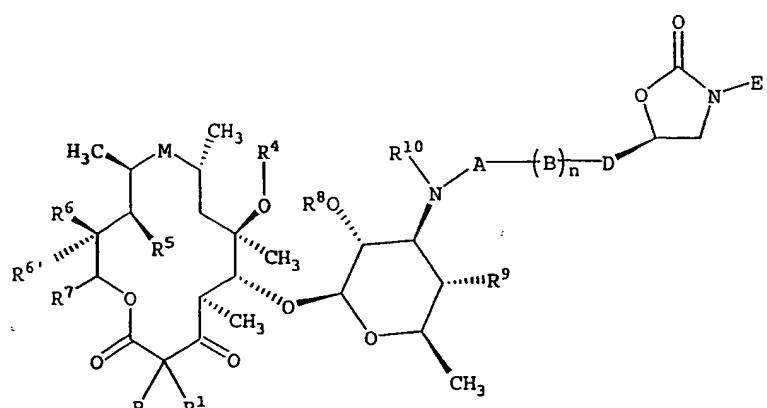
- 226 R¹⁶, at each occurrence, independently is selected from the group consisting of:
 227 a) R¹⁷, b) C₁₋₆ alkyl, c) C₂₋₆ alkenyl, d) C₂₋₆ alkynyl, e) -C₃₋₁₀ saturated,
 228 unsaturated, or aromatic carbocycle, and f) -3-10 membered saturated,
 229 unsaturated, or aromatic heterocycle containing one or more heteroatoms selected
 230 from the group consisting of nitrogen, oxygen, and sulfur,
 231 wherein any of b) – f) optionally is substituted with one or more R¹⁷
 232 groups;
- 233 R¹⁷, at each occurrence, independently is selected from the group consisting of:
 234 a) H, b) carbonyl, c) F, d) Cl, e) Br, f) I, g) (CR¹³R¹³)_rCF₃, h) (CR¹³R¹³)_rCN,
 235 i) (CR¹³R¹³)_rNO₂, j) (CR¹³R¹³)_r(CR¹³R¹³), k) (CR¹³R¹³)_rOR¹¹,
 236 l) (CR¹³R¹³)_rS(O)pR¹³, m) (CR¹³R¹³)_rC(O)R¹³, n) (CR¹³R¹³)_rC(O)OR¹³,
 237 o) (CR¹³R¹³)_rOC(O)R¹³, p) (CR¹³R¹³)_rNR¹³C(O)R¹³,
 238 q) (CR¹³R¹³)_rC(O)NR¹³R¹³, r) (CR¹³R¹³)_rC(=NR¹³)R¹³,
 239 s) (CR¹³R¹³)_rNR¹³C(O)NR¹³R¹³, t) (CR¹³R¹³)_rNR¹³S(O)pR¹³,
 240 u) (CR¹³R¹³)_rS(O)pNR¹³R¹³, v) (CR¹³R¹³)_rNRS(O)pNR¹³R¹³, w) C₁₋₆ alkyl,
 241 x) C₂₋₆ alkenyl, y) C₂₋₆ alkynyl, z) (CR¹³R¹³)_r-C₃₋₁₀ saturated, unsaturated, or
 242 aromatic carbocycle, and aa) (CR¹³R¹³)_r-3-10 membered saturated, unsaturated,
 243 or aromatic heterocycle containing one or more heteroatoms selected from the
 244 group consisting of nitrogen, oxygen, and sulfur,
 245 wherein any of w) – aa) optionally is substituted with one or more
 246 moieties selected from the group consisting of R¹³; F; Cl; Br; I; CN; NO₂;
 247 -OR¹³; -NH₂; -NH(C₁₋₆ alkyl); -N(C₁₋₆ alkyl)₂; C₁₋₆ alkoxy; C₁₋₆ alkylthio;
 248 and C₁₋₆ acyl;
- 249 R¹⁸, at each occurrence, independently is selected from the group consisting of:
 250 a) H, b) OR¹⁵, c) -O-C₁₋₆ alkyl-OC(O)R¹⁵, d) -O-C₁₋₆ alkyl-OC(O)OR¹⁵,
 251 e) -O-C₁₋₆ alkyl-OC(O)NR¹⁵R¹⁵, f) -O-C₁₋₆ alkyl-C(O)NR¹⁵R¹⁵,
 252 g) -O-C₁₋₆ alkyl-NR¹⁵C(O)R¹⁵, h) -O-C₁₋₆ alkyl-NR¹⁵C(O)OR¹⁵,
 253 i) -O-C₁₋₆ alkyl-NR¹⁵C(O)NR¹⁵R¹⁵, j) -O-C₁₋₆ alkyl-NR¹⁵C(=NH)NR¹⁵R¹⁵,
 254 k) -O-C₁₋₆ alkyl-S(O)pR¹⁵, l) -O-C₂₋₆ alkenyl-OC(O)R¹⁵,
 255 m) -O-C₂₋₆ alkenyl-OC(O)OR¹⁵, n) -O-C₂₋₆ alkenyl-OC(O)NR¹⁵R¹⁵,

- 256 o) -O-C₂₋₆ alkenyl-C(O)NR¹⁵R¹⁵, p) -O-C₂₋₆ alkenyl-NR¹⁵C(O)R¹⁵,
257 q) -O-C₂₋₆ alkenyl-NR¹⁵C(O)OR¹⁵, r) -O-C₂₋₆ alkenyl-NR¹⁵C(O)NR¹⁵R¹⁵,
258 s) -O-C₂₋₆ alkenyl-NR¹⁵C(=NH)NR¹⁵R¹⁵, t) -O-C₂₋₆ alkenyl-S(O)_pR¹⁵,
259 u) -O-C₂₋₆ alkynyl-OC(O)R¹⁵, v) -O-C₂₋₆ alkynyl-OC(O)OR¹⁵,
260 w) -O-C₂₋₆ alkynyl-OC(O)NR¹⁵R¹⁵, x) -O-C₂₋₆ alkynyl-C(O)NR¹⁵R¹⁵,
261 y) -O-C₂₋₆ alkynyl-NR¹⁵C(O)R¹⁵, z) -O-C₂₋₆ alkynyl-NR¹⁵C(O)OR¹⁵,
262 aa) -O-C₂₋₆ alkynyl-NR¹⁵C(O)NR¹⁵R¹⁵,
263 bb) -O-C₂₋₆ alkynyl-NR¹⁵C(=NH)NR¹⁵R¹⁵, cc) -O-C₂₋₆ alkynyl-S(O)_pR¹⁵; and
264 dd) -NR¹⁵R¹⁵;
265 alternatively, two R¹⁸ groups taken together form =O, =NOR¹⁵, or =NNR¹⁵R¹⁵;
266 R¹⁹ is R¹²;
267 R²⁰ is selected from the group consisting of:
268 a) R¹³, b) F, c) Cl, d) Br, e) I, f) CN, g) NO₂, and h) -OR¹¹;
269 alternatively, R¹⁹ and R²⁰ taken together are -O(CH₂)_nO-;
270 R²¹, at each occurrence, independently is selected from the group consisting of:
271 a) H, b) F, c) Cl, d) Br, e) I, f) CN, g) -OR¹¹, h) NO₂, i) -NR¹¹R¹¹, j) C₁₋₆ alkyl,
272 k) C₁₋₆ acyl, and l) C₁₋₆ alkoxy;
273 R²² is selected from the group consisting of:
274 a) C₁₋₆ alkyl, b) C₂₋₆ alkenyl, c) C₂₋₆ alkynyl, d) C₁₋₆ acyl, e) C₁₋₆ alkoxy,
275 f) C₁₋₆ alkylthio, g) saturated, unsaturated, or aromatic C₅₋₁₀ carbocycle,
276 h) saturated, unsaturated, or aromatic 5-10 membered heterocycle containing one
277 or more heteroatoms selected from the group consisting of nitrogen, oxygen, and
278 sulfur, i) -O-C₁₋₆ alkyl-saturated, unsaturated, or aromatic 5-10 membered
279 heterocycle containing one or more heteroatoms selected from the group
280 consisting of nitrogen, oxygen, and sulfur, j) -NR¹¹-C₁₋₆ alkyl-saturated,
281 unsaturated, or aromatic 5-10 membered heterocycle containing one or more
282 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,
283 k) saturated, unsaturated, or aromatic 10-membered bicyclic ring system
284 optionally containing one or more heteroatoms selected from the group consisting
285 of nitrogen, oxygen, and sulfur, l) saturated, unsaturated, or aromatic 13-
286 membered tricyclic ring system optionally containing one or more heteroatoms

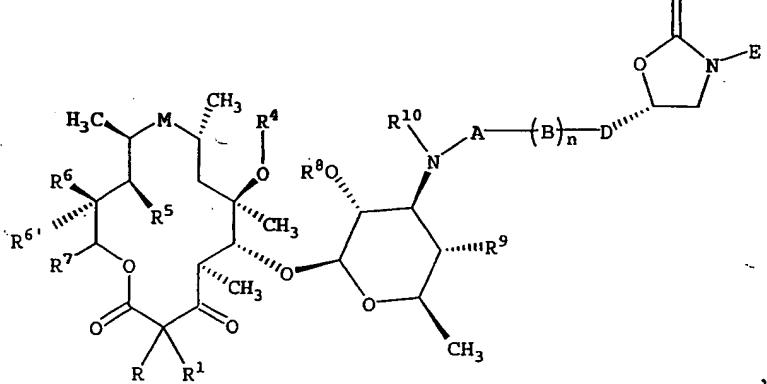
287 selected from the group consisting of nitrogen, oxygen, and sulfur, m) -OR¹¹,
288 n) -NR¹¹R¹¹, o) S(O)R¹¹, and p) R²¹,
289 wherein any of a) - l) optionally is substituted with one or more R¹²
290 groups;
291 alternatively, R²² and one R²¹ group, taken together with the atoms to which they are
292 bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with
293 one or more R¹² groups; or a 5-7 membered saturated or unsaturated heterocycle containing one
294 or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally
295 substituted with one or more R¹² groups;
296 R²³ at each occurrence, independently is selected from the group consisting of:
297 a) hydrogen; b) an electron-withdrawing group; c) aryl; d) substituted aryl;
298 e) heteroaryl; f) substituted heteroaryl; and g) C₁₋₆ alkyl, optionally substituted
299 with one or more R¹² groups;
300 alternatively, any R²³ and any R²⁰, taken together with the atoms to which they are
301 bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with
302 one or more R¹² groups; or a 5-7 membered saturated or unsaturated heterocycle containing one
303 or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally
304 substituted with one or more R¹² groups;
305 p, at each occurrence, is selected from the group consisting of 0, 1, and 2;
306 r, at each occurrence, is selected from the group consisting of 0, 1, and 2;
307 s, at each occurrence, is selected from the group consisting of 1, 2, 3, or 4;
308 t, at each occurrence, is selected from the group consisting of 0, 1, or 2;
309 u, at each occurrence, is selected from the group consisting of 1, 2, 3, 4, or 5; and,
310 v, at each occurrence, is selected from the group consisting of 0, 1, 2, or 3.

1 2. A compound having the formula selected from the group consisting of:

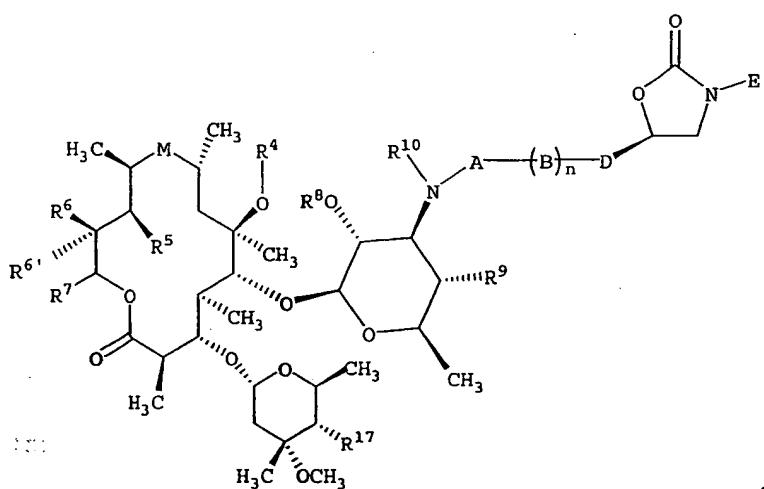
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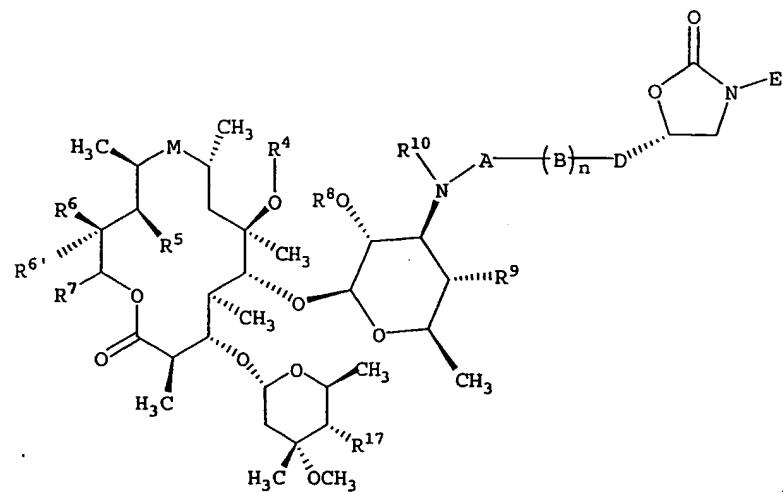
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4



, and



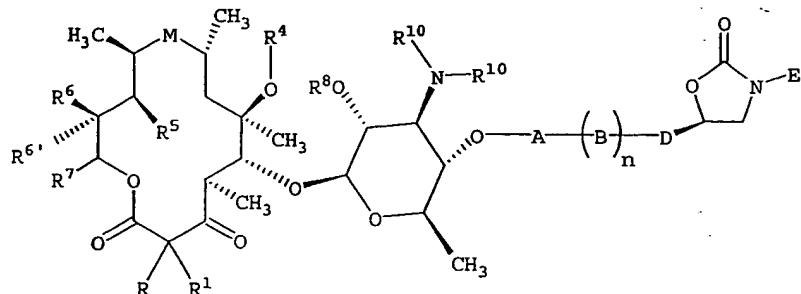
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6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

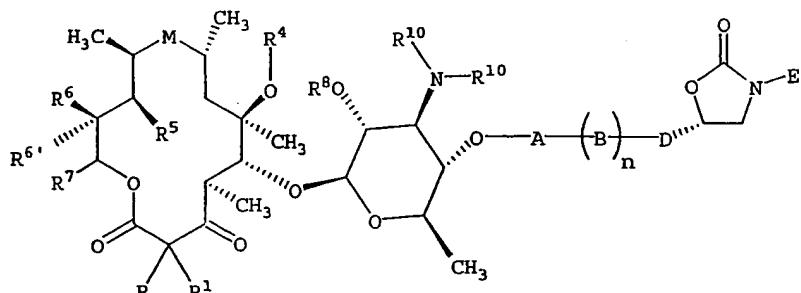
7 wherein A, B, n, D, E, R, R¹, R⁴, R⁵, R⁶, R^{6'}, R⁷, R⁸, R⁹, and R¹⁰ are as defined in claim 1.

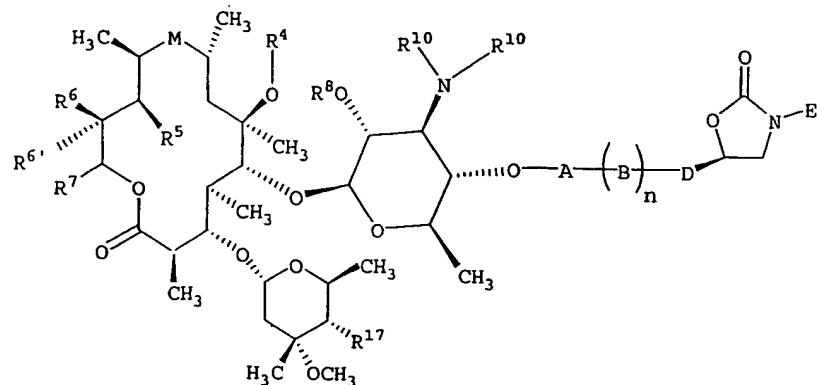
1 3. A compound having the formula selected from the group consisting of:

2

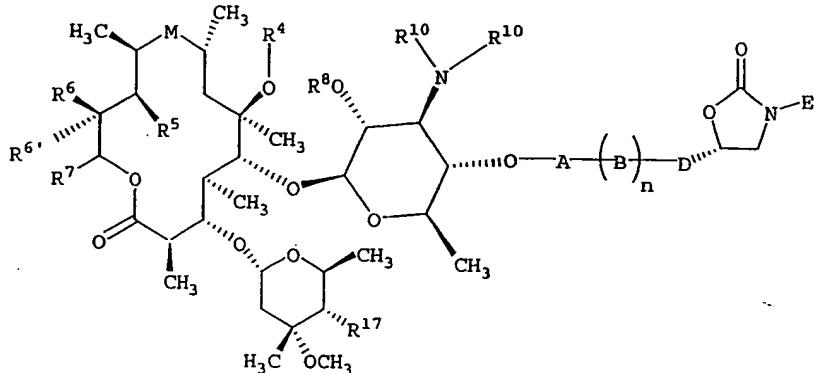


3





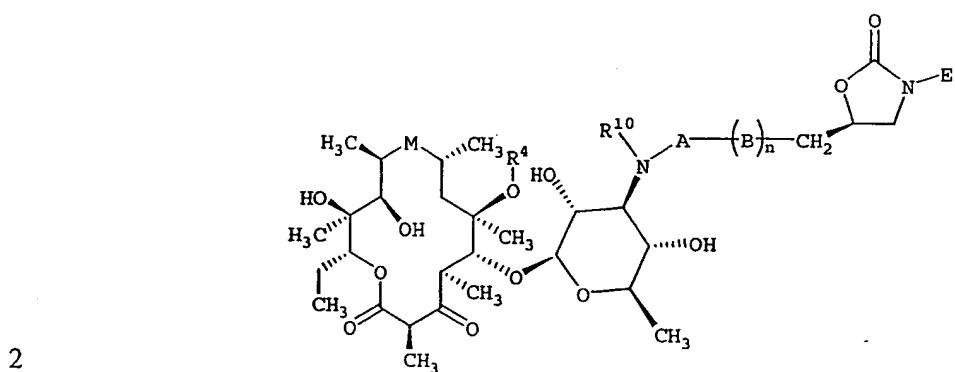
, and



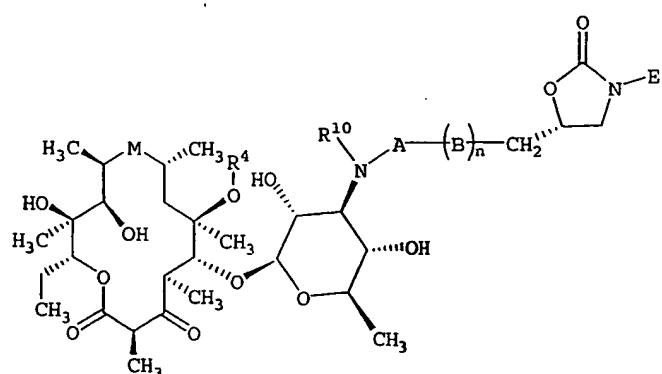
6 or a pharmaceutically acceptable salt, ester, or prodrug thereof,

7 wherein A, B, n, D, E, R, R¹, R⁴, R⁵, R⁶, R^{6'}, R⁷, R⁸, R⁹, and R¹⁰ are as defined in claim 1.

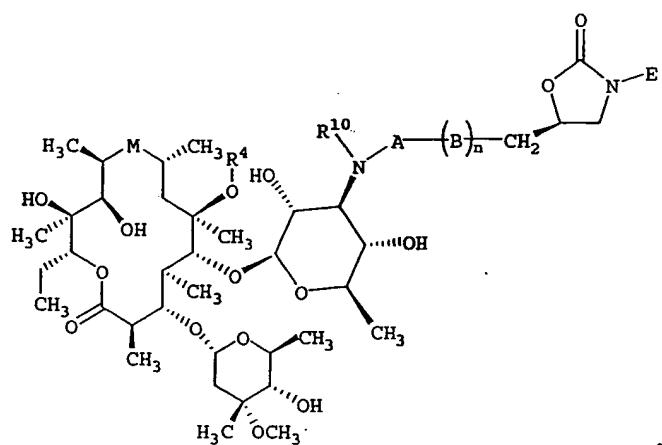
1 4. A compound having the formula selected from the group consisting of:



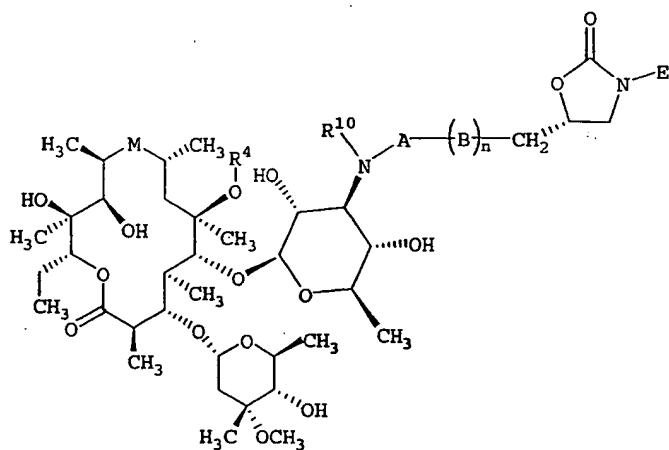
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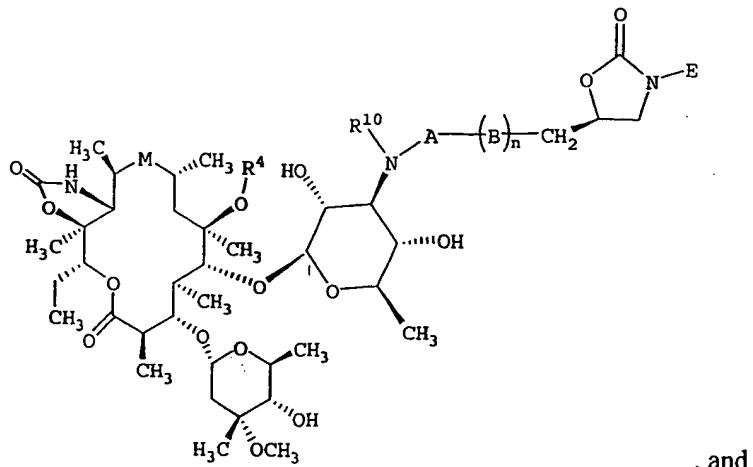
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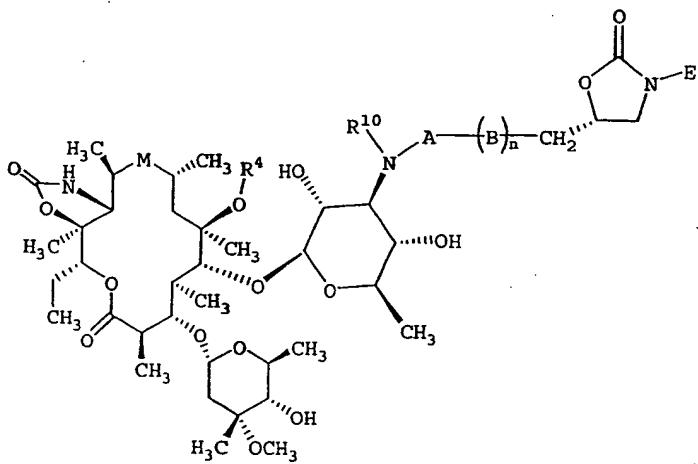


6



, and

7



8

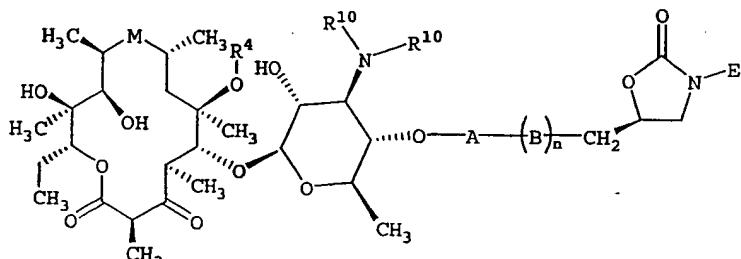
or a pharmaceutically acceptable salt, ester, or prodrug thereof,

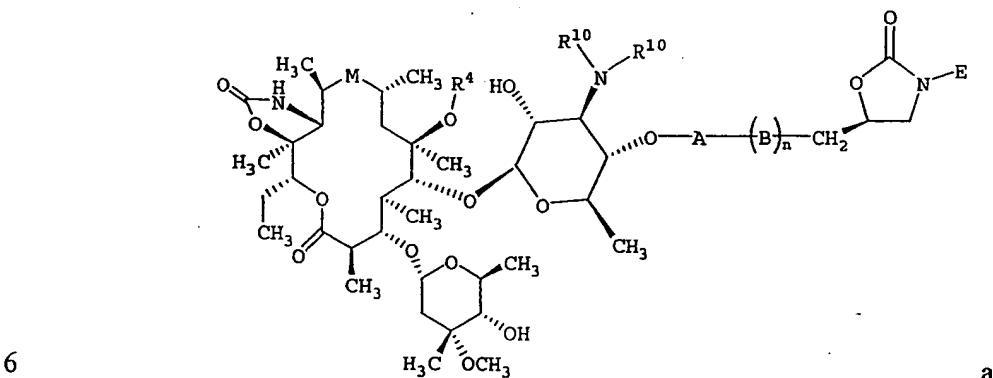
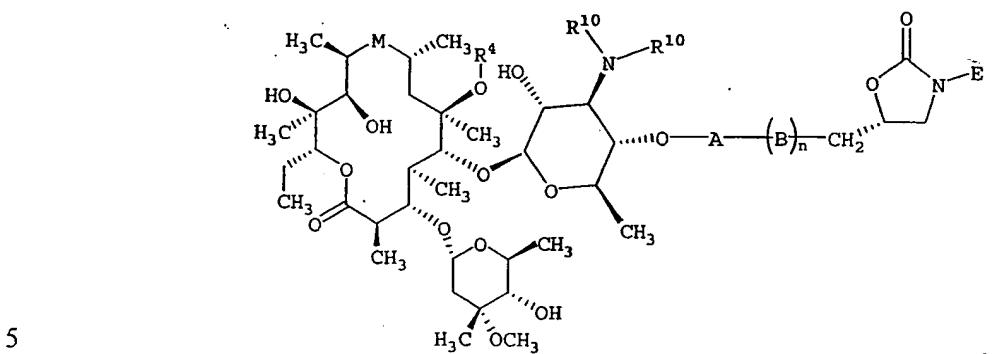
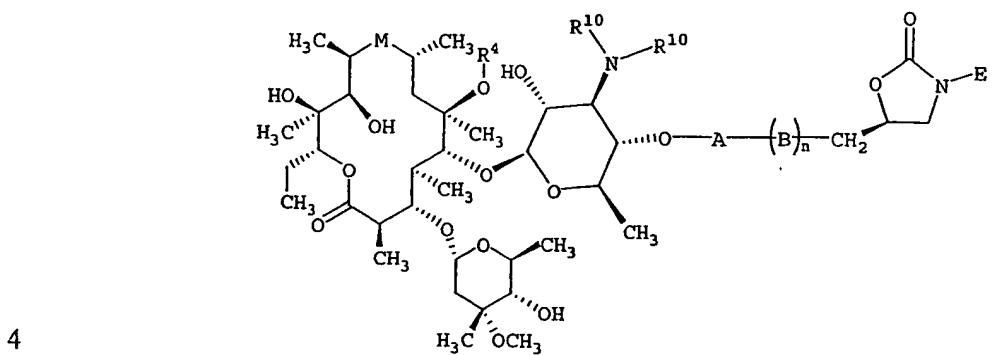
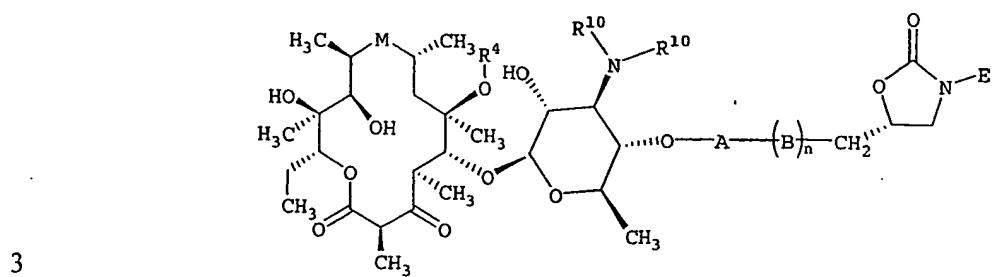
9 wherein A, B, n, E, R⁴, and R¹⁰ are as defined in claim 1.

1

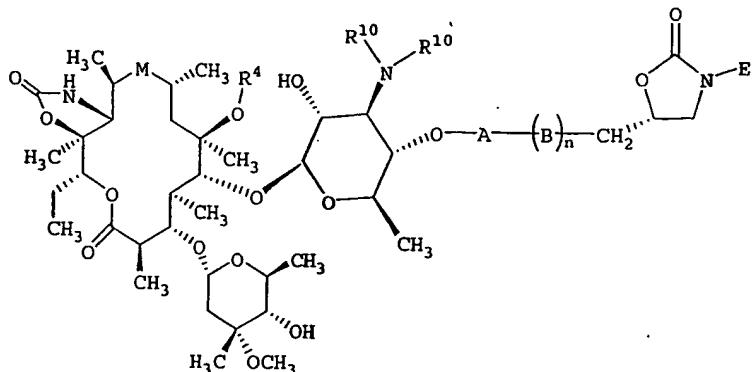
5. A compound having the formula selected from the group consisting of:

2



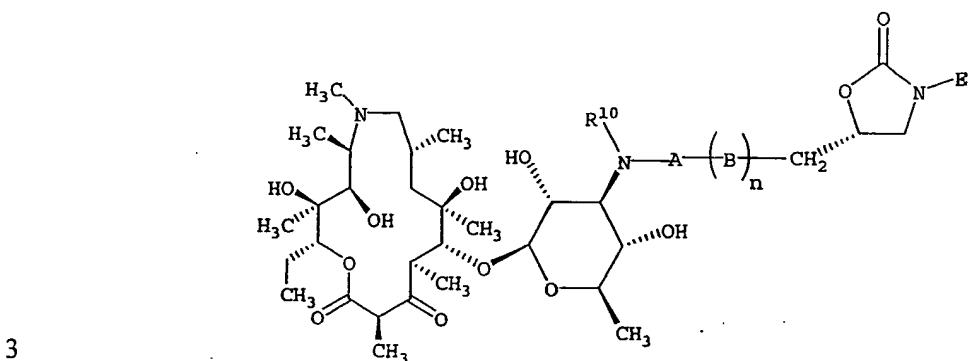
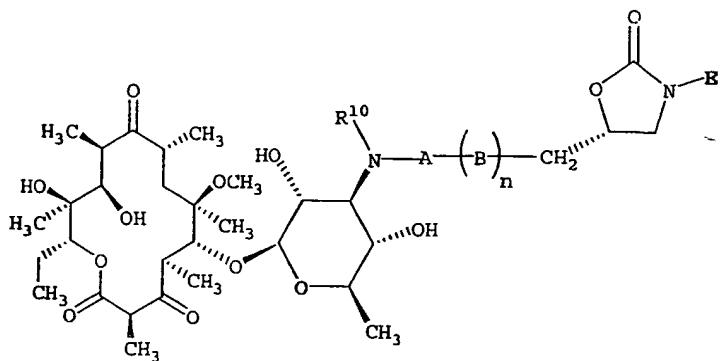


, and

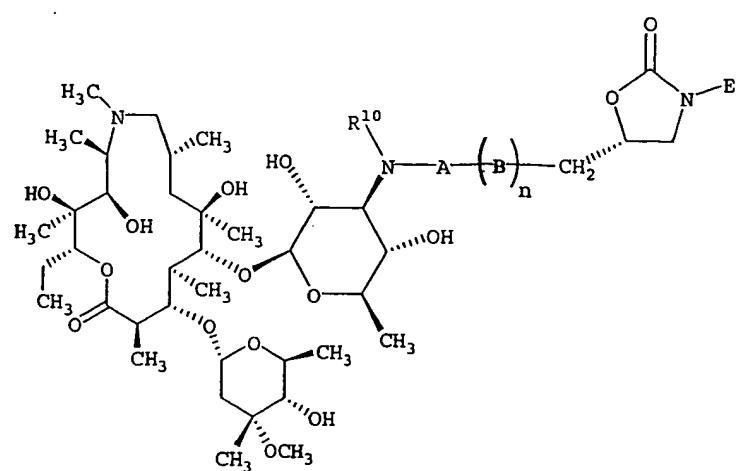


8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,
9 wherein A, B, n, E, R⁴, and R¹⁰ are as defined in claim 1.

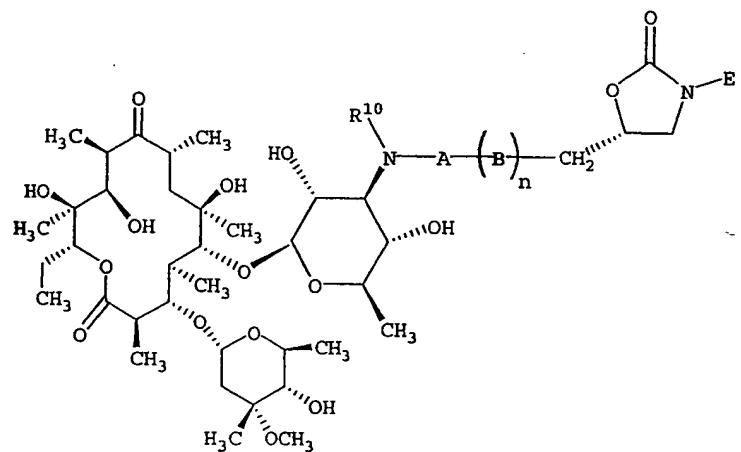
1 6. A compound having the formula selected from the group consisting of:



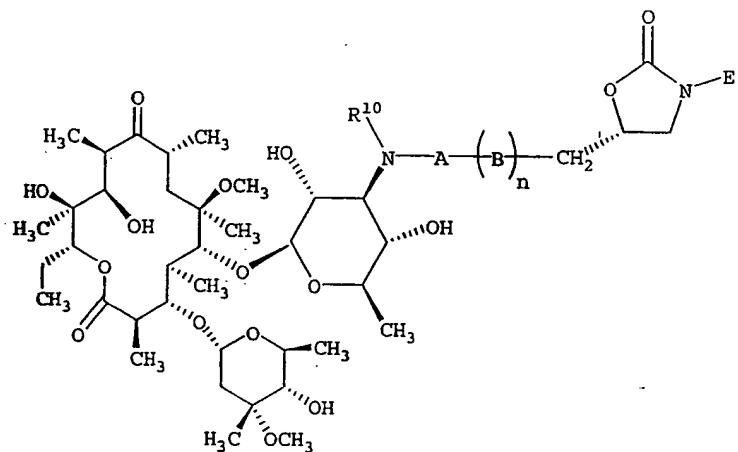
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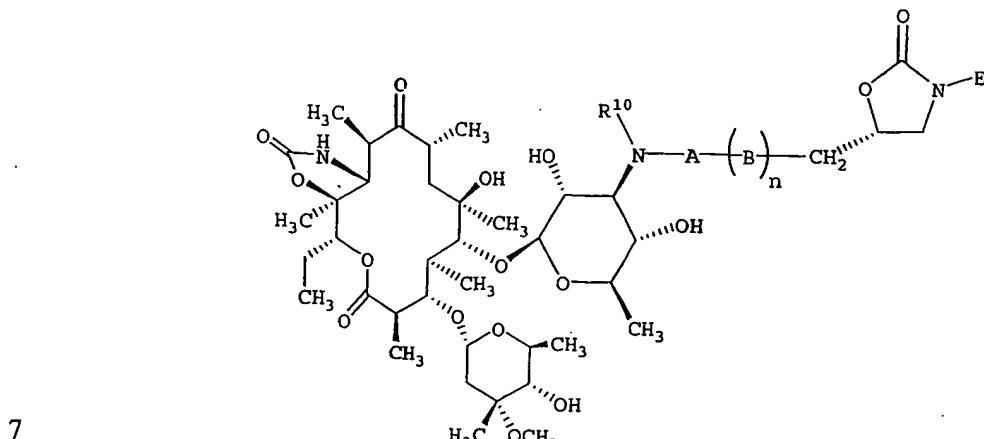
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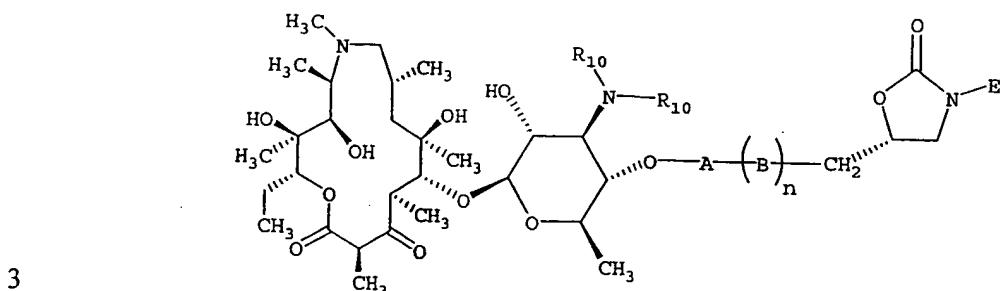
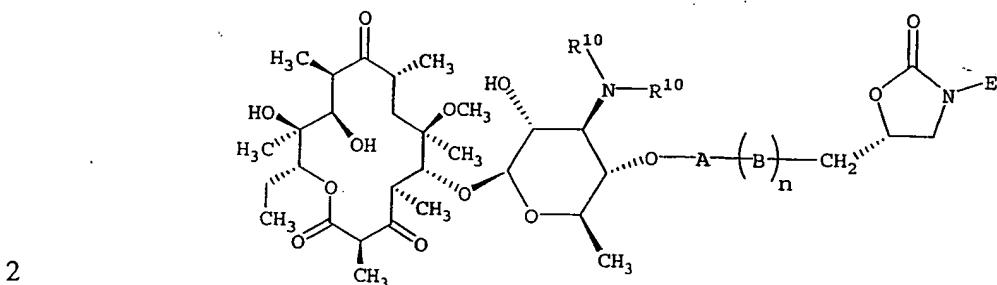
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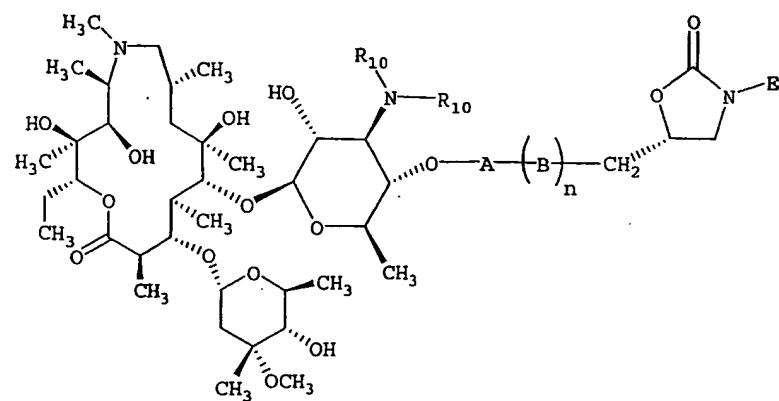
, and



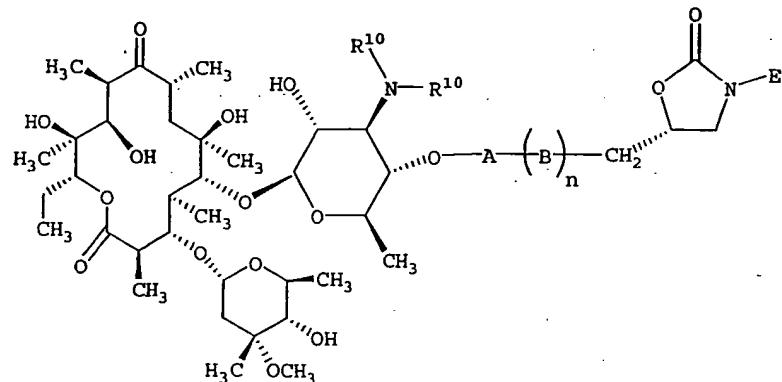
1 7. A compound having the formula selected from the group consisting of:



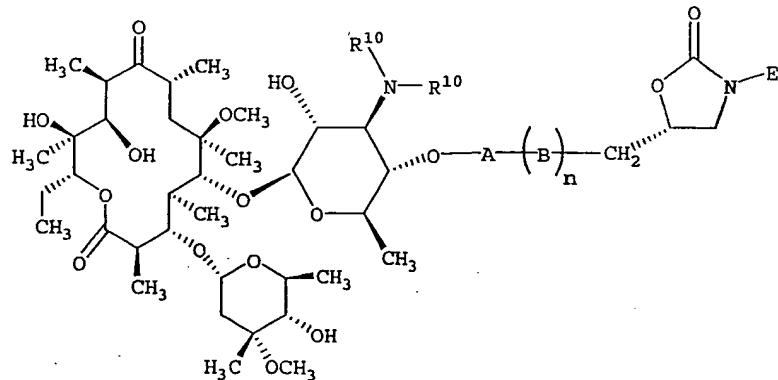
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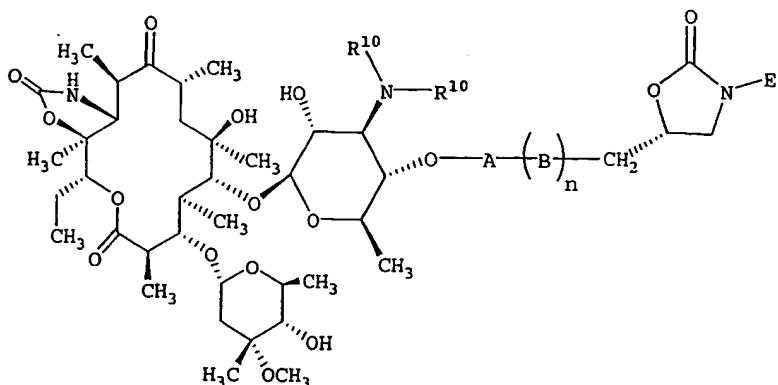
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, and



7
8 or a pharmaceutically acceptable salt, ester, or prodrug thereof,
9 wherein A, B, n, E, and R¹⁰ are as defined in claim 1.

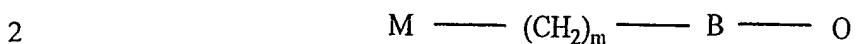
1 8. The compound according to any of claims 1-7, wherein n is 1.

1 9. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-C(O)NH-D.

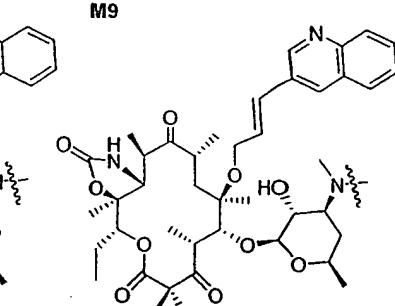
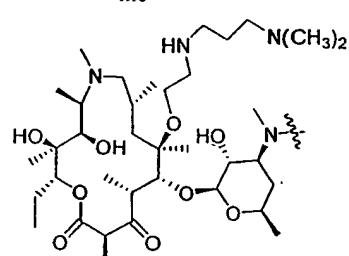
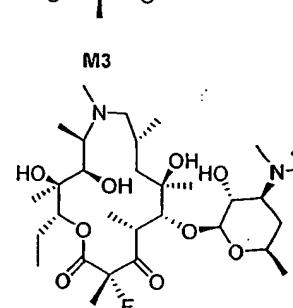
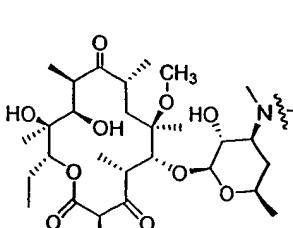
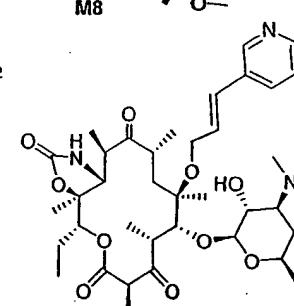
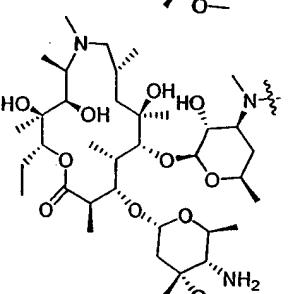
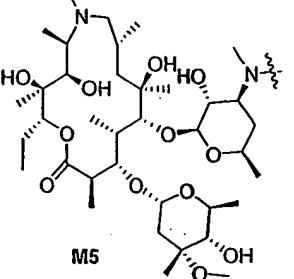
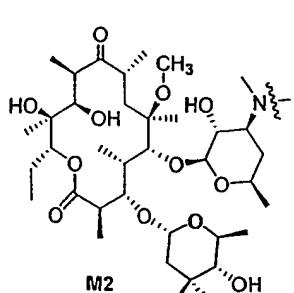
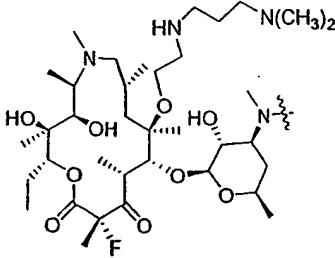
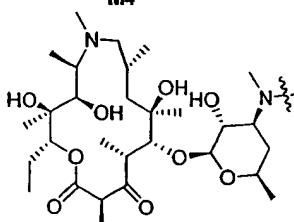
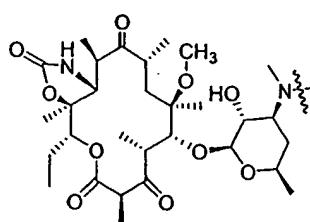
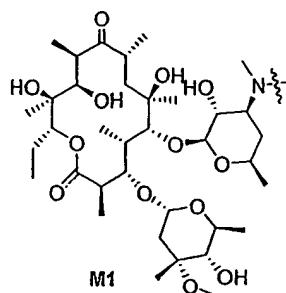
1 10. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-SO₂NH-D.

1 11. The compound according to any of claims 1-8, wherein A-(B)_n-D is:
2 A-C(S)NH-D.

1 12. A compound having the formula



3 or a pharmaceutically acceptable salt, ester, or prodrug thereof,
4 wherein M is a macrolide selected from the group consisting of



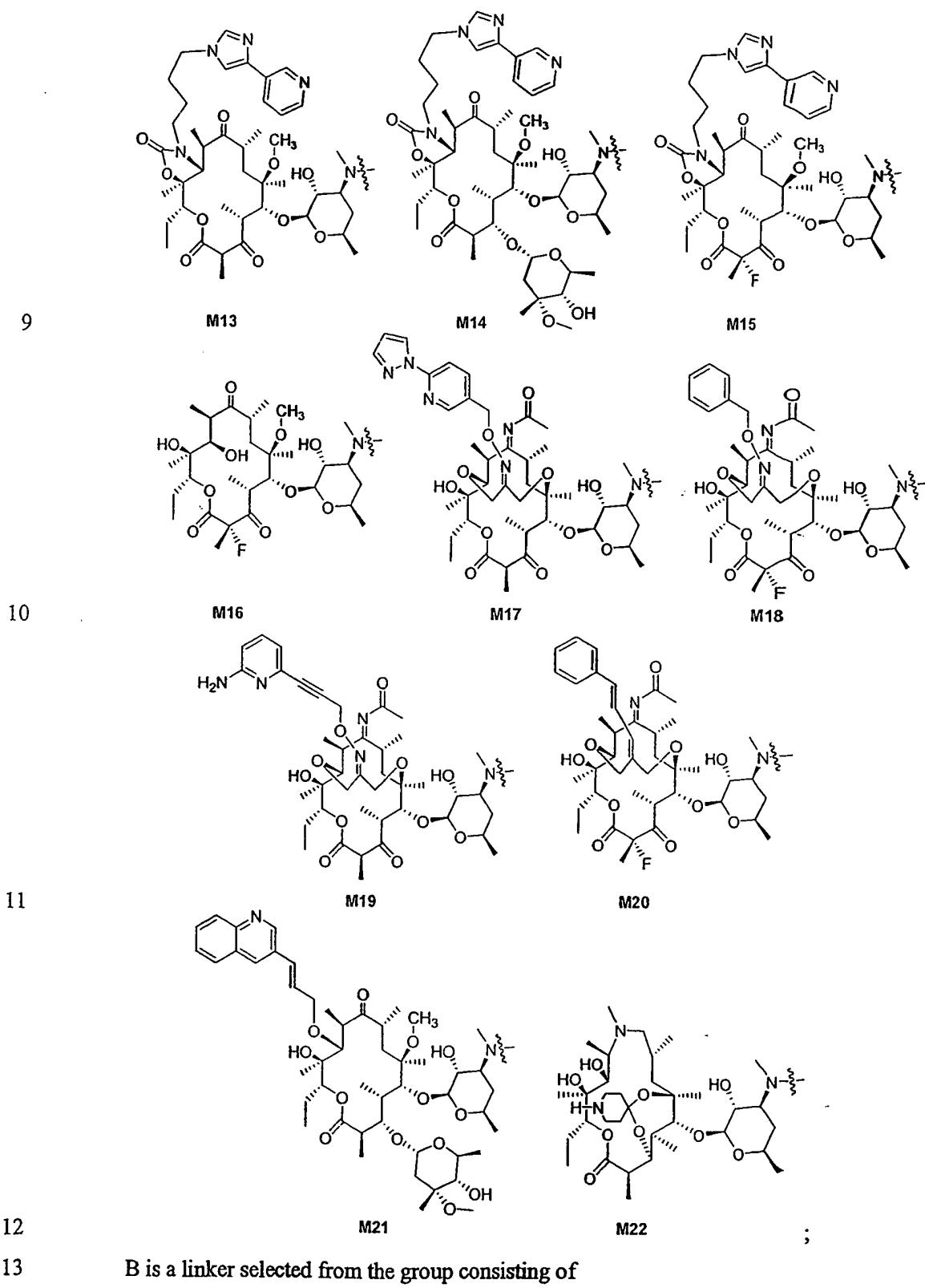
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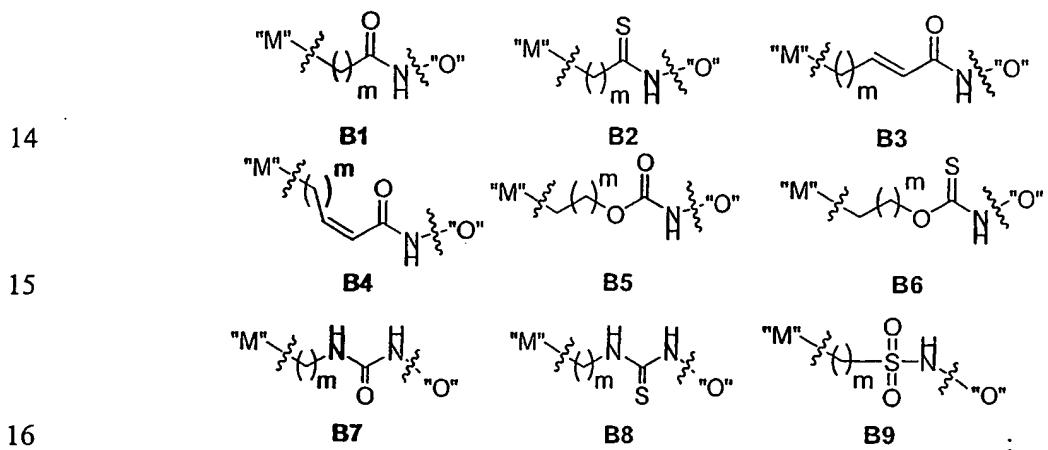
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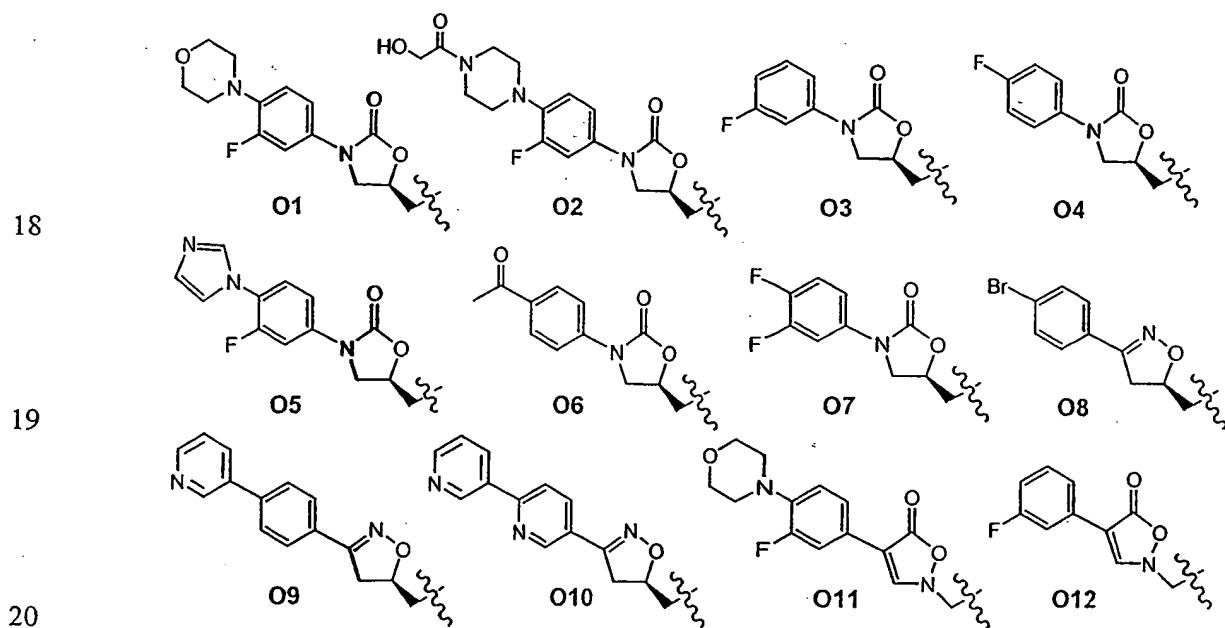
- 93 -



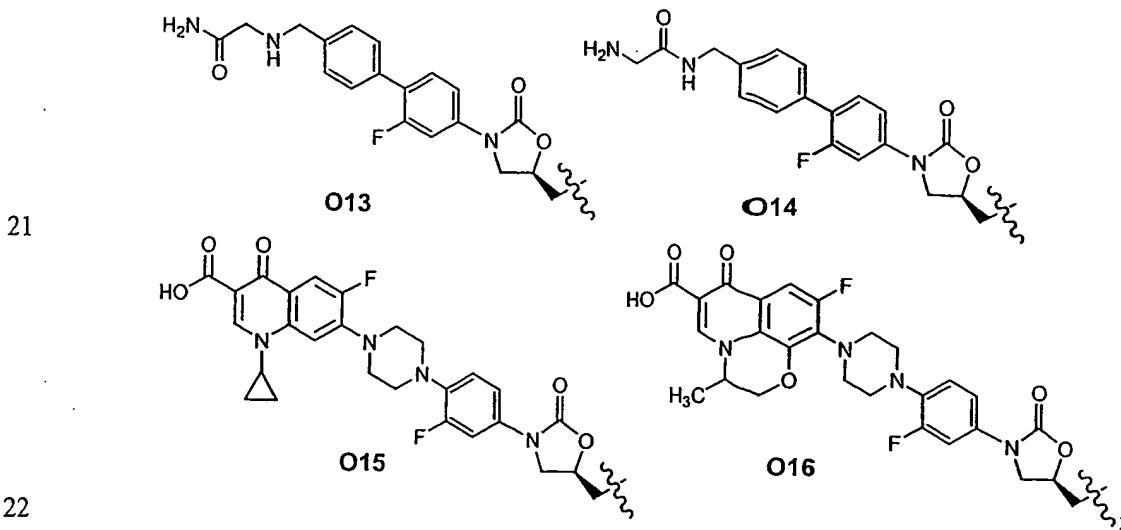
- 94 -



17 O is a heterocyclic side chain selected from the group consisting of

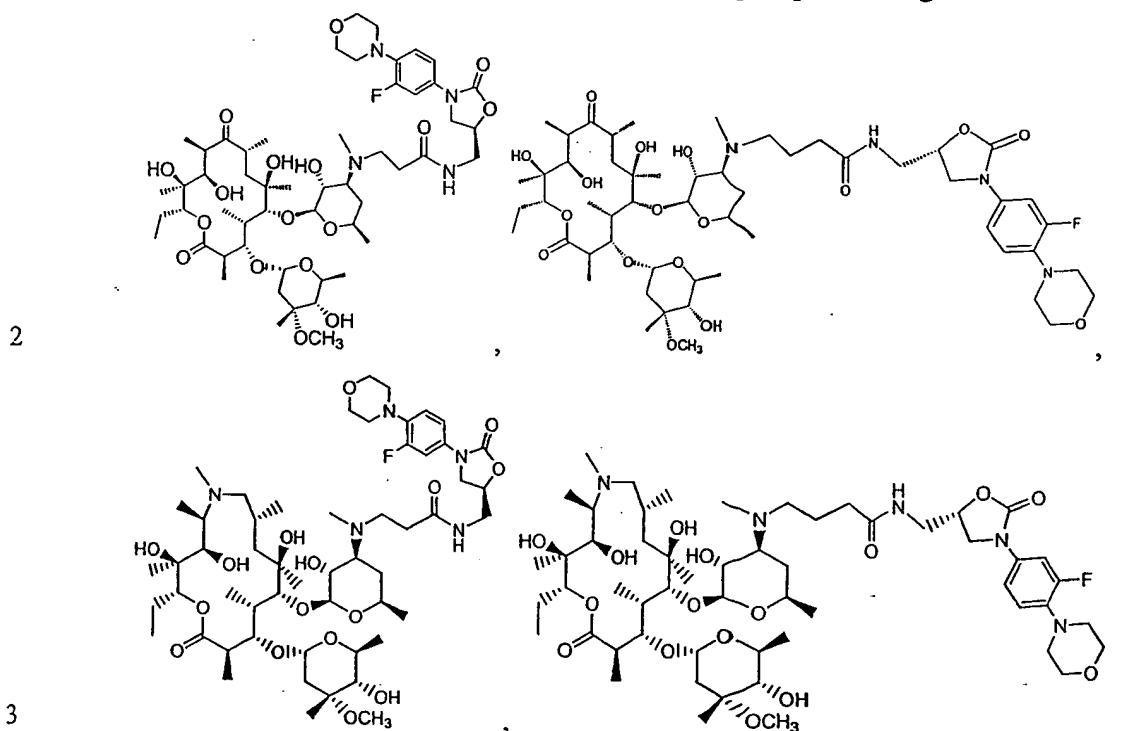


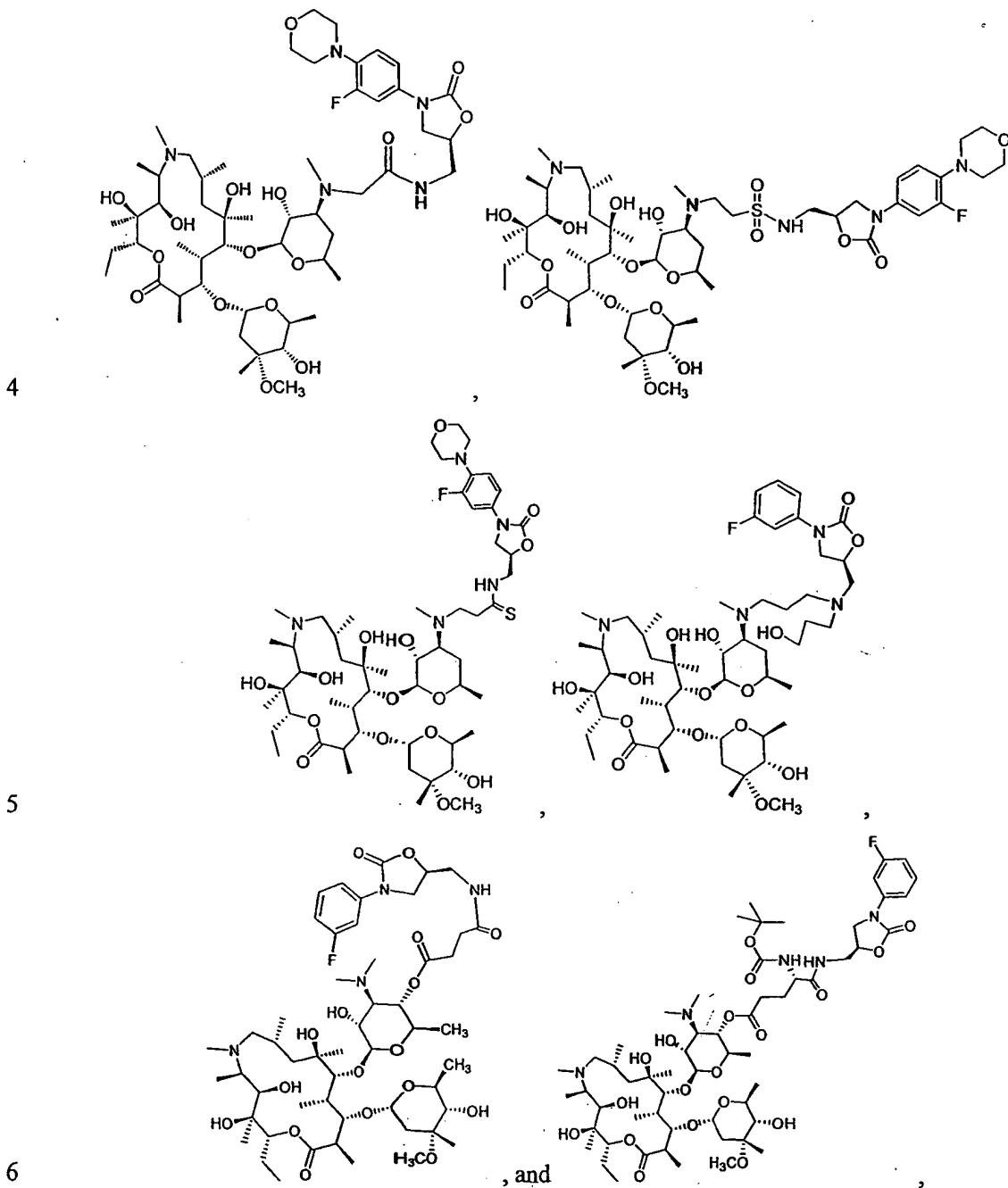
- 95 -



23 and m is an integer from 1-4.

1 13. A compound having the formula selected from the group consisting of:





7 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 14. A pharmaceutical composition comprising a compound according to any one of claims
2 1-13 and a pharmaceutically acceptable carrier.

1 15. A method of treating a microbial infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.

- 1 16. A method of treating a fungal infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 17. A method of treating a parasitic disease in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 18. A method of treating a proliferative disease in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 19. A method of treating a viral infection in a mammal comprising administering to the
2 mammal an effective amount of a compound according to any one of claims 1-13.
- 1 20. A method of treating an inflammatory disease in a mammal comprising administering to
2 the mammal an effective amount of a compound according to any one of claims 1-13.
- 1 21. A method of treating a gastrointestinal motility disorder in a mammal comprising
2 administering to the mammal an effective amount of a compound according to any one of claims
3 1-13.
- 1 22. The method according to any one of claims 15-21 wherein the compound is administered
2 orally, parentally, or topically.
- 1 23. A method of synthesizing a compound according to any of claims 1-13.
- 1 24. A medical device containing a compound according to any one of claims 1-13.
- 1 25. The medical device according to claim 24, wherein the device is a stent.